(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization

International Bureau



. | 1865 | 1875 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876 | 1876

(43) International Publication Date 5 February 2004 (05.02.2004)

PCT

(10) International Publication Number WO 2004/011453 A3

(51) International Patent Classification⁷: C07D 401/04

(21) International Application Number:

PCT/US2003/023820

(22) International Filing Date: 29 July 2003 (29.07.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/400,356 60/446,451 31 July 2002 (31.07.2002) US 11 February 2003 (11.02.2003) US

(71) Applicant (for all designated States except US): E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US).

- (72) Inventor; and
- (75) Inventor/Applicant (for US only): ANNIS, Gary, David [US/US]; 13 Franklin Road, Landenberg, PA 19350 (US).
- (74) Agent: HEISER, David, E.; E.I. DU PONT DE NEMOURS AND COMPANY, LEGAL PATENT RECORDS CENTER, 4417 Lancaster Pike, Wilmington, DE 19805 (US).

- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

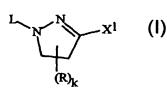
Published:

with international search report

(88) Date of publication of the international search report:
18 March 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: METHOD FOR PREPARING 3-HALO-4,5-DIHYDRO-1H-PYRAZOLES



$$X^2$$
 (II)

$$\mathbb{R}^{7}$$
 \mathbb{R}^{6}
 \mathbb{R}^{3}
 \mathbb{R}^{3}
 \mathbb{R}^{3}
 \mathbb{R}^{3}
 \mathbb{R}^{3}
 \mathbb{R}^{3}
 \mathbb{R}^{3}

(57) Abstract: This invention relates to a method for preparing 3-halo-4,5-dihydro-1*H*-pyrazole compound of Formula (I), comprising contacting with HX¹ a different 4,5-dihydro-1*H*-pyrazole compound of Formula (II), wherein X¹ is halogen and L, R, k and X² are as defined in the disclosure. This invention also discloses preparation of compounds of Formula (III) wherein X¹, R³, R⁶, R⁷, R^{8a}, R^{8b}, and n are as defined in the disclosure.



V.834